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    FILE 'REGISTRY' ENTERED AT 15:17:43 ON 30 AUG 2000
L1              STRUCTURE UPLOADED
L2           50 S L1 SSS SAM

     FILE 'CAPLUS' ENTERED AT 15:23:02 ON 30 AUG 2000
                S L1

     FILE 'REGISTRY' ENTERED AT 15:23:09 ON 30 AUG 2000
L3           50 S L1

     FILE 'CAPLUS' ENTERED AT 15:23:11 ON 30 AUG 2000
L4           42 S L3

     FILE 'CAOLD' ENTERED AT 15:23:26 ON 30 AUG 2000
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     FILE 'REGISTRY' ENTERED AT 15:23:31 ON 30 AUG 2000
L5           50 S L1

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L6            0 S L5

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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y
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L4 ANSWER 1 OF 42 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 2000:41758 CAPLUS

DOCUMENT NUMBER: 132:194596

TITLE: Synthesis and biological activity of 2'-fluoro-D-arabinofuranosylpyrazolo[3,4-d]pyrimidine nucleosides

AUTHOR(S): Shortnacy-Fowler, Anita T.; Tiwari, Kamal N.; Montgomery, John A.; Buckheit, Robert W., Jr.; Secrist, John A., III; Seela, Frank

CORPORATE SOURCE: Southern Research Institute, Birmingham, AL, 35255-5305, USA

SOURCE: Helv. Chim. Acta (1999), 82(12), 2240-2245
CODEN: HCACAV; ISSN: 0018-019X

PUBLISHER: Verlag Helvetica Chimica Acta

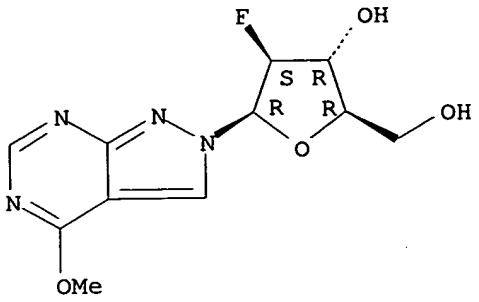
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Coupling of 2-fluoro-3,5-di-O-benzoyl-.alpha.-D-arabinofuranosyl bromide with 4-methoxypyrazolo[3,4-d]pyrimidine gave an .alpha.-D/.beta.-D mixt. of N1-and N2-coupled products. All the anomers were sepd. and deblocked to yield the corresponding nucleosides. The .beta.-D-anomer was converted to the 4-amino deriv., which was deaminated by adenosine deaminase to give the 4-oxo compd. 1-(2-Deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-4-methoxy-1H-pyrazolo[3,4-d]pyrimidine showed significantly activity against human cytomegalovirus and hepatitis B virus; its 4-amino analog showed activity against human herpes virus 8. All the compds. were non-cytotoxic in several human tumor-cell lines in culture.

IT **259738-12-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and biol. activity of fluoro-D-arabinofuranosyl pyrazolopyrimidine nucleosides)
RN 259738-12-0 CAPLUS
CN 2H-Pyrazolo[3,4-d]pyrimidine, 2-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-4-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

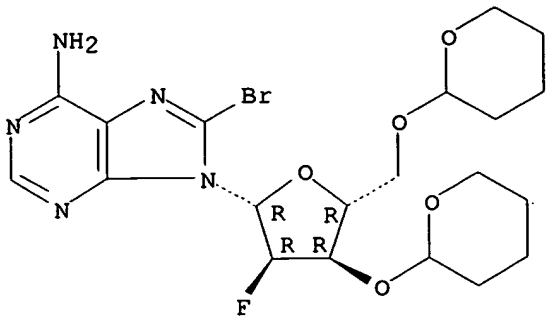


REFERENCE COUNT: 20
REFERENCE(S):
(1) Brockman, R; Biochem Pharmacol 1977, V26, P2193 CAPLUS
(3) Jungmann, O; Tetrahedron Lett 1996, V37, P8355 CAPLUS
(4) Kazimierczuk, Z; J Am Chem Soc 1984, V106, P6379 CAPLUS
(5) Korba, B; Antiviral Res 1992, V19, P55 CAPLUS
(7) Montgomery, J; J Med Chem 1992, V35, P397 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 2000:28930 CAPLUS
DOCUMENT NUMBER: 132:166449
TITLE: Synthesis of 8-substituted analogues of 2'-deoxy-2'-fluoroadenosine
AUTHOR(S): Maruyama, Tokumi; Kozai, Shigetada; Manabe, Takako; Yazima, Yuko; Satoh, Yoshiko; Takaku, Hiroshi
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Tokushima Bunri University, Tokushima, 770, Japan
SOURCE: Nucleosides Nucleotides (1999), 18(11 & 12), 2433-2442
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB After 3',5'-di-O-protection of 8-bromoadenosine, the product was converted to the arabinoside, which was successively treated with diethylaminosulfur trifluoride (DAST) and acid to afford 8-bromo-2'-deoxy-2'-fluoroadenosine. However, the formation of an 8,2'-anhydro compd. was noted by treatment of the arabinoside with alkali. Finally, the 8-oxo analog was obtained from the 8-bromo congener.
IT **259144-92-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of 8-substituted analogs of deoxyfluoroadenosine)
RN 259144-92-8 CAPLUS
CN Adenosine, 8-bromo-2'-deoxy-2'-fluoro-3',5'-bis-O-(tetrahydro-2H-pyran-2-

yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20
REFERENCE(S):
(1) Aoyama, H; Biochem Biophys Acta 1985, V824, P225 CAPLUS
(2) Davison, E; Nucleosides Nucleotides 1993, V12, P237 CAPLUS
(3) De Clercq, E; Eur J Biochem 1980, V107, P279 CAPLUS
(4) Fukui, T; Biochem Biophys Acta 1982, V697, P174 CAPLUS
(5) Ikehara, M; Acc Chem Res 1969, V2, P47 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

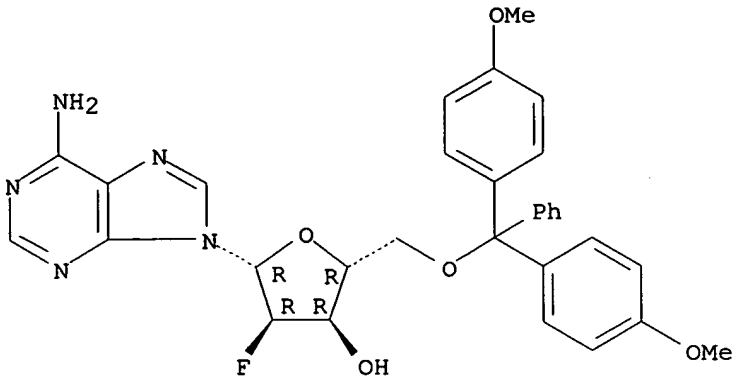
L4 ANSWER 3 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:808599 CAPLUS
DOCUMENT NUMBER: 132:49021
TITLE: Antisense modulation of CD71 expression
INVENTOR(S): Bennett, C. Frank; Cowsert, Lex M.
PATENT ASSIGNEE(S): Isis Pharmaceuticals Inc., USA
SOURCE: U.S., 34 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6004814	A	19991221	US 1998-161244	19980925
WO 2000018785	A1	20000406	WO 1999-US22075	19990923
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961597	A1	20000417	AU 1999-61597	19990923
PRIORITY APPLN. INFO.:			US 1998-161244	19980925
			WO 1999-US22075	19990923

AB Antisense compds., compns. and methods are provided for modulating the expression of CD71, which mediates uptake of circulating iron-transferrin complexes into cells. The compns. comprise antisense compds., particularly antisense oligonucleotides, targeted to nucleic acids encoding CD71. Methods of using these compds. for modulation of CD71 expression and for treatment of diseases (e.g. cancer) assocd. with expression of CD71 are provided.

IT **204633-63-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(antisense modulation of CD71 expression for treating cancer)
RN 204633-63-6 CAPLUS
CN Adenosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-2'-fluoro-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10
REFERENCE(S): (3) Kemp; Histol Histopathol 1997, V12, P291 CAPLUS
(4) Lesley; Mol Cell Biol 1984, V4, P1675 CAPLUS
(5) Neckers; Pathobiology 1991, V59, P11 MEDLINE
(6) Sasaki; Am J Hematol 1993, V42, P74 CAPLUS
(9) White; Cancer Res 1990, V50, P6295 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:576818 CAPLUS
DOCUMENT NUMBER: 131:196459
TITLE: Novel nucleoside imaging agents and methods for the preparation and use thereof
INVENTOR(S): Conti, Peter S.; Alauddin, Mian M.; Fissekis, John D.
PATENT ASSIGNEE(S): University Advanced Bio-Imaging Associates, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

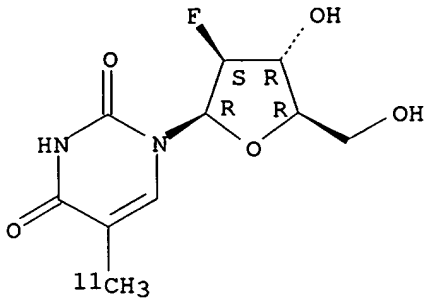
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9944646	A1	19990910	WO 1999-US4935	19990305
W: CA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			US 1998-36352	19980306

AB The nucleoside analog
2'-fluoro-5-methyl-1-.beta.-D-arabinofuranosyluracil
(FMAU) has been found to have an esp. desirable combination of properties for use as an imaging agent, in particular limited in vivo catabolism. Methods for the prepn. of [11C]- and [18F]-labeled FMAU and for the use of
the labeled material are provided. It was found that [11C]FMAU is a superior in vivo imaging agent for detecting cell proliferation, and appropriate dose is about 20-25 mCi.
IT **172494-45-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(novel nucleoside imaging agents and methods for the prepn. and use thereof)

RN 172494-45-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione,
1-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-
5-(methyl-11C)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7
REFERENCE(S):
(1) Chirakal, R; NUCLEAR MEDICINE AND BIOLOGY 1996, V23(1), P41 CAPLUS
(2) Chyng-Yann, S; US 4436717 A 1984
(3) Coenen, H; US 4925651 A 1990
(4) Damhaut, P; TETRAHEDRON 1997, V53(16), P5785 CAPLUS
(5) Gritters; JOURNAL OF NUCLEAR MEDICINE 1993, V34(9), P1420 MEDLINE
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:468433 CAPLUS
DOCUMENT NUMBER: 131:92540
TITLE: Trialkyl-lock-facilitated polymeric prodrugs of amino-containing bioactive agents
INVENTOR(S): Greenwald, Richard B.; Choe, Yun E.; Pendri, Annapurna
PATENT ASSIGNEE(S): Enzon, Inc., USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9933483	A1	19990708	WO 1998-US27805	19981229
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5965119	A	19991012	US 1997-676	19971230
AU 9920212	A1	19990719	AU 1999-20212	19981229
PRIORITY APPLN. INFO.:			US 1997-676	19971230
			US 1998-137430	19980821
			WO 1998-US27805	19981229

OTHER SOURCE(S): MARPAT 131:92540

AB The present invention relates to polymer-based double prodrugs having reversible linkages involving amino or hydroxyl moieties of chem. compds. and biol. active materials such as enzymes, proteins and the like. The first prodrug is generated when the polymeric portion of the double prodrug is cleaved and the parent mol. is generated rapidly thereafter in vivo, as a result of a trialkyl lock type lactonization-type reaction. The advantages of double prodrug compds. are that they are capable of solubilizing amine- or hydroxyl-contg. compds. and extending their half-life as compared to native or even "second" prodrugs counterparts. The polymeric portion can also impart an antigenicity-reducing effect on the parent compd. Another advantage of the systems of the present invention is that the linkage between the polymer portion and the "second prodrug" compd. is designed to hydrolyze or otherwise cleave at a rate which allows the compd. to retain its enhanced soly. and circulating half-life. The native drug, however, is still not released at this point.

Only after the "second prodrug" undergoes the relatively rapid trialkyl lock lactonization reaction will the desired native or parent mol. be released. It is readily apparent that this double prodrug approach of the

present invention offers unique and unexpected characteristics which enhance the circulating half-life and soly. of unmodified mols. The prepn. of PEG-based prodrugs of daunorubicin, doxorubicin, asparaginase, Ara-C, gemcitabine, and melphalan is presented.

IT **229958-30-9P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

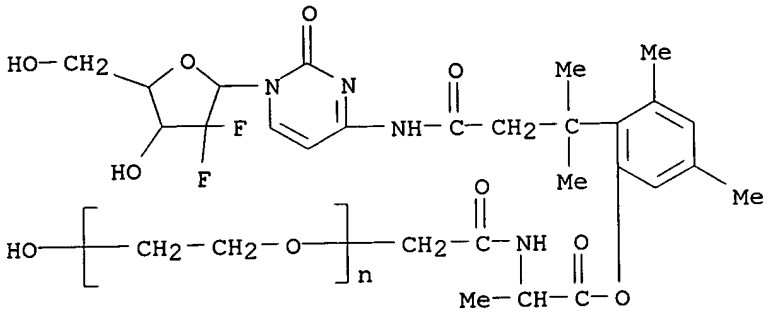
(prepn. of trialkyl-lock-facilitated polymeric prodrugs of amino-contg.

bioactive agents)

RN 229958-30-9 CAPLUS

CN Poly(oxy-1,2-ethanediyl), .alpha.-[2-[[(1S)-2-[2-[3-[[1-(2-deoxy-2,2-difluoro-.beta.-D-erythro-pentofuranosyl)-1,2-dihydro-2-oxo-4-

pyrimidinyl]amino]-1,1-dimethyl-3-oxopropyl]-3,5-dimethylphenoxy]-1-methyl-2-oxoethyl]amino]-2-oxoethyl]-.omega.-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2

REFERENCE(S): (1) Borchardt; US 5672584 A 1997 CAPLUS

(2) Shan; J Pharm Sci 1997, V86(7) CAPLUS

L4 ANSWER 6 OF 42 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 1999:448620 CAPLUS

DOCUMENT NUMBER: 132:208069

TITLE: Chemical and enzymatic synthesis and antiviral properties of 2'-deoxy-2'-fluoroguanosine

AUTHOR(S): Zaitseva, Galina V.; Zinchenko, Anatoli I.; Barai, Vladimir N.; Pavlova, N. I.; Boreko, Evgeny I.; Mikhailopulo, Igor A.

CORPORATE SOURCE: Institute of Bioorganic Chemistry, National Academy of

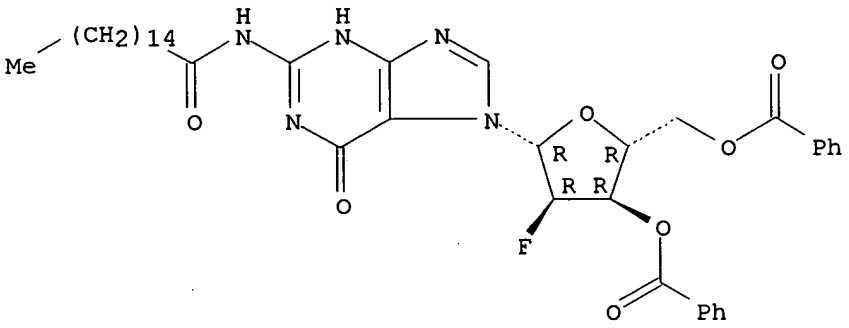
Sciences, Kuprevicha, 5, Belarus
SOURCE: Nucleosides Nucleotides (1999), 18(4 & 5), 687-688
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:208069

AB Chem. and enzymic methods were employed for the synthesis of the title compd., 2'-deoxy-2'-fluoroguanosine (2'F-Guo). High antiviral activity of 2'F-Guo was established in chick embryo cells infected with influenza virus FPV/Rostock/34 (H7N1) and herpes simplex virus (HSV) type I (1C strain).

IT **237399-26-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(chem., enzymic synthesis and antiviral properties of 2'-deoxy-2'-fluoroguanosine)

RN 237399-26-7 CAPLUS
CN Hexadecanamide, N-[7-(3,5-di-O-benzoyl-2-deoxy-2-fluoro-.beta.-D-ribofuranosyl)-6,7-dihydro-6-oxo-1H-purin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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REFERENCE(S):
(1) Mikhailopulo, I; Carbohyd Res 1995, V278, P71 CAPLUS
(2) Thomas, H; Nucleosides Nucleotides 1994, V13, P309 CAPLUS
(3) Tisdale, M; Antimicrob Agents Chemther 1995, V39, P2454 CAPLUS
(4) Tuttle, J; J Med Chem 1993, V36, P119 CAPLUS
(5) Zinchenko, A; Appl Microbiol Biotechnol 1990, V32, P658 CAPLUS

L4 ANSWER 7 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1999:388194 CAPLUS
DOCUMENT NUMBER: 131:19246
TITLE: Method for synthesizing 9-(2,3-dideoxy-2-fluoro-.beta.-D-threo)-pentofuranosyl)adenine (.beta.-FfddA)
INVENTOR(S): Marquez, Victor E.; Siddiqui, Maqbool A.; Driscoll, John S.
PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929702	A2	19990617	WO 1998-US26109	19981209
WO 9929702	A3	19990902		

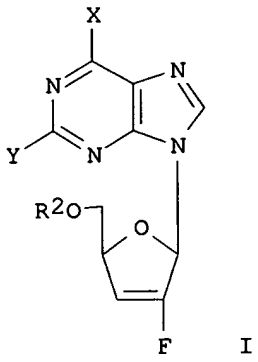
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1997-67765 19971210

OTHER SOURCE(S): CASREACT 131:19246; MARPAT 131:19246

GI



I

AB A new method for the prepn. of the anti-HIV antiviral compd. 9-[(2,3-dideoxy-2-fluoro-.beta.-D-threo-pentofuranosyl)adenine] (.beta.-FddA) is provided. The method relies upon the stereospecific hydrogenation of 9-(2,3-dideoxy-2-fluoro-.beta.-D-glycero-pent-2-enofuranosyl)adenine or various derivs. I [X,Y = independently H, NHR1, NHNHR1, NHOR1, OR1, Cl, N3; R1 = H, alkyl, cycloalkyl, COR3, benzyl; R2= R1, Si(R4)3, PH2CH, 4-methoxybenzyl, trityl, 4-methoxytrityl, 4,4'-dimethoxytrityl; R3 = alkyl, alkoxy, benzyloxy, aryl; R4 = alkyl, aryl]. The methods are expected to be suitable for the prepn. of other antiviral 9-(2,3-dideoxy-2-fluoro-.beta.-D-threo-pentofuranosyl)purines, such as .beta.-FddG and .beta.-FddI.

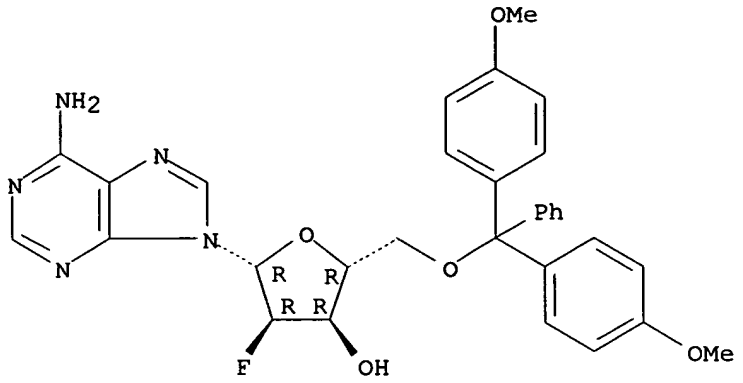
IT **204633-63-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(method for synthesizing 9-(2,3-dideoxy-2-fluoro-.beta.-D-threopentofuranosyl)adenine)

RN 204633-63-6 CAPLUS

CN Adenosine, 5'-O-[bis(4-methoxyphenyl)phenylmethyl]-2'-deoxy-2'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

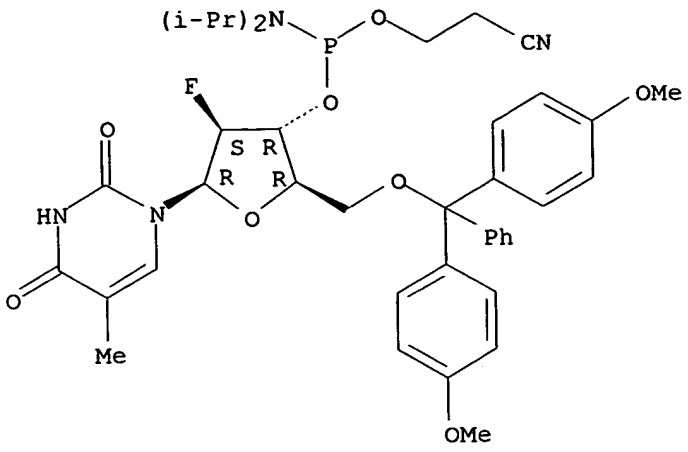


L4 ANSWER 8 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1998:318623 CAPLUS
DOCUMENT NUMBER: 129:50988
TITLE: The effect of two antipodal fluorine-induced sugar puckers on the conformation and stability of the Dickerson-Drew dodecamer duplex [d(CGCGAATTCGCG)]2
AUTHOR(S): Ikeda, Hisafumi; Fernandez, Raul; Wilk, Andrzej; Barchi, Joseph J., Jr; Huang, Xiaolin; Marquez, Victor E.
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Division of Basic Sciences, National Cancer Institute, National Institutes of Health, Food and Drug Administration, Bethesda, MD, 20892, USA
SOURCE: Nucleic Acids Res. (1998), 26(9), 2237-2244
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB UV thermal melting studies, CD and NMR spectroscopies were employed to assess the contribution of antipodal sugar conformations on the stability of the canonical B-DNA conformation of the Dickerson-Drew dodecamer duplex {[d(CGCGAATTCGCG)]2, (ODN 1)}. Different oligodeoxynucleotide versions of ODN 1 were synthesized with modified thymidine units favoring distinct sugar conformations by using a 3'-endo (north) 2'-fluoro-2'-deoxyribofuranosyl thymine (1) or a 2'-endo (south) 2'-fluoro-2'-deoxyarabinofuranosyl thymine (2). The results showed that two south thymidines greatly stabilized the double helix, whereas two north thymidines destabilized it by inducing a more A-like conformation in the middle of the duplex. Use of combinations of north and south thymidine conformers in the same oligo destabilized the double helix even further, but without inducing a conformational change. The crit. length for establishing a detectable A-like conformation in the middle of a B-DNA ODN appears to be 4 bp. Our results suggest that manipulation of the conformation of DNA in a sequence-independent manner is possible.

IT **208193-48-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 3'-endo (north) 2'-fluoro-2'-deoxyribofuranosyl thymine and 2'-endo (south) 2'-fluoro-2'-deoxyarabinofuranosyl thymine conformers)
RN 208193-48-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

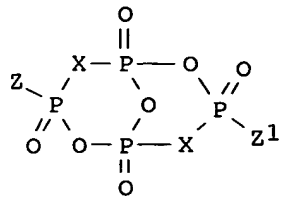
Absolute stereochemistry.



L4 ANSWER 9 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1998:239233 CAPLUS
DOCUMENT NUMBER: 128:321865
TITLE: Preparation of nucleotide tetraphosphonate bicyclic trisanhydrides
INVENTOR(S): Pankiewicz, Krzysztof W.; Lesiak, Krystyna; Watanabe, Kyoichi A.
PATENT ASSIGNEE(S): Codon Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815563	A1	19980416	WO 1997-US18323	19971009
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9748151	A1	19980505	AU 1997-48151	19971009
EP 934325	A1	19990811	EP 1997-910883	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1239964	A	19991229	CN 1997-180340	19971009
PRIORITY APPLN. INFO.:			US 1996-28154	19961009
			US 1997-38360	19970213
			WO 1997-US18323	19971009

OTHER SOURCE(S): MARPAT 128:321865
GI



I

AB Prepn. of nucleotide bicyclic tris(anhydride)s I (Z, Z1 = alkyl, aralkyl, aryl, aminoalkyl, alkyloxy, aralkyloxy, alkylamino, aralkylamino, alkylmercaptan, aralkylmercaptan, arylmercaptan, sugar, nucleoside, steroid, glyceride; X = CH2, halo-methylene, NHR; R = H, alkyl) useful as intermediates in the synthesis of biol. active compds., and the compds. which may be synthesized from such intermediates, is reported. Thus,

P1-[9-(3'-fluoro-3'-deoxy-.beta.-D-arabinofuranosyl)-hypoxanthin-5'-yl]-P2-[7-hydroxy-5-methoxy-4-methylphthalan-1-on-6-yl-(3'-methyloct-2'-ene-8'-yl)]methylene-bis(phosphonate) was prepd.

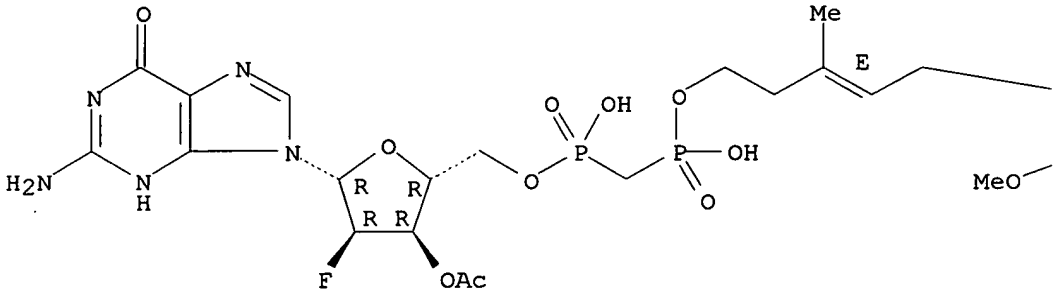
IT **206544-92-5P 206545-43-9P 206545-78-0P 206545-80-4P 206545-94-0P 206546-03-4P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of nucleotide tetraphosphonate bicyclic trisanhydrides)

RN 206544-92-5 CAPLUS

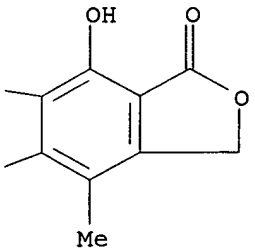
CN Guanosine, 2'-deoxy-2'-fluoro-, 3'-acetate 5'-[hydrogen [[[[(3E)-5-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-3-methyl-3-pentenyl]oxy]hydroxyphosphinyl]methyl]phosphonate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



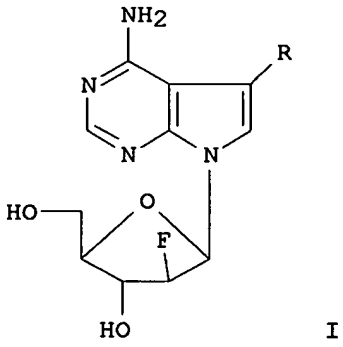
RN 206545-43-9 CAPLUS

CN Adenosine, 2'-deoxy-2'-fluoro-, 5'-[hydrogen [[[[(4E)-6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-4-

=> d 30-42 l4 ibib abs hitstr

YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L4 ANSWER 30 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1995:647233 CAPLUS
DOCUMENT NUMBER: 123:286486
TITLE: Total synthesis of 2'-deoxy-2'-arafluoro-tubericidin, -toyocamycin, -sangivamycin and certain related nucleosides
AUTHOR(S): Bhattacharya, Birendra K.; Rao, T. Sudhakar; Revankar, Ganapathi R.
CORPORATE SOURCE: Triplex Pharm. Corp., The Woodlands, TX, 77380, USA
SOURCE: J. Chem. Soc., Perkin Trans. 1 (1995), (12), 1543-50
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



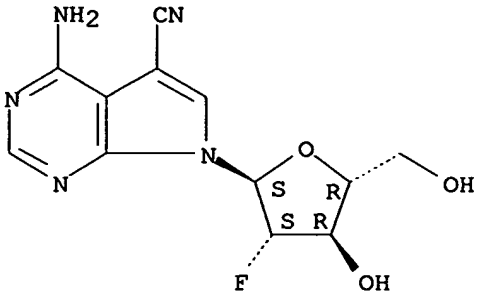
I

AB A total synthesis of novel nucleosides deoxyarafluorotubricidin I (R = H), -toyocamycin I (R = CN), -sangivamycin I (R = CONH2) and -thiosangivamycin I (R = CSNH2) has been accomplished for the first time starting from 4-chloropyrrolo[2,3-d]pyrimidine, and 2-bromo-5-(ethoxymethyleneamino)pyrrole-3,4-dicarbonitrile. I (R = CONH2, CSNH2) have shown some interesting anti-(human cytomegalovirus) activity and it was obsd. that I (R = CSNH2) is more active than I (R = CONH2) but less potent than 9-(1,3-dihydroxypropan-2-yloxymethyl)guanine in vitro.

IT **166105-78-8P 169516-65-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and antiviral activity of deoxyfluoro nucleosides)

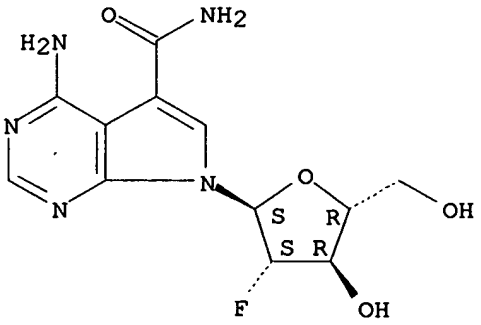
RN 166105-78-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4-amino-7-(2-deoxy-2-fluoro-.alpha.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

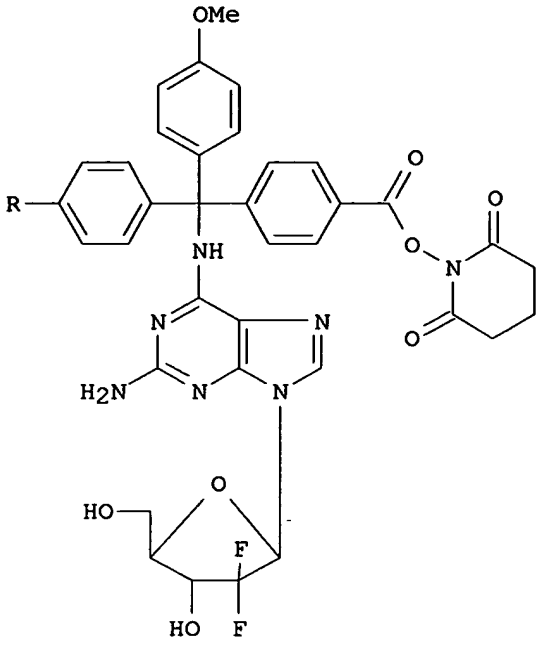


RN 169516-65-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine-5-carboxamide, 4-amino-7-(2-deoxy-2-fluoro-.alpha.-D-arabinofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 31 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1995:445275 CAPLUS
DOCUMENT NUMBER: 123:33569
TITLE: Novel trityl linked drug immunoconjugates for cancer therapy
AUTHOR(S): Patel, Vinod F.; Hardin, Julie N.; Starling, James J.; Mastro, John M.
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly & Company, Indianapolis, IN, 46285, USA
SOURCE: Bioorg. Med. Chem. Lett. (1995), 5(5), 507-12
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

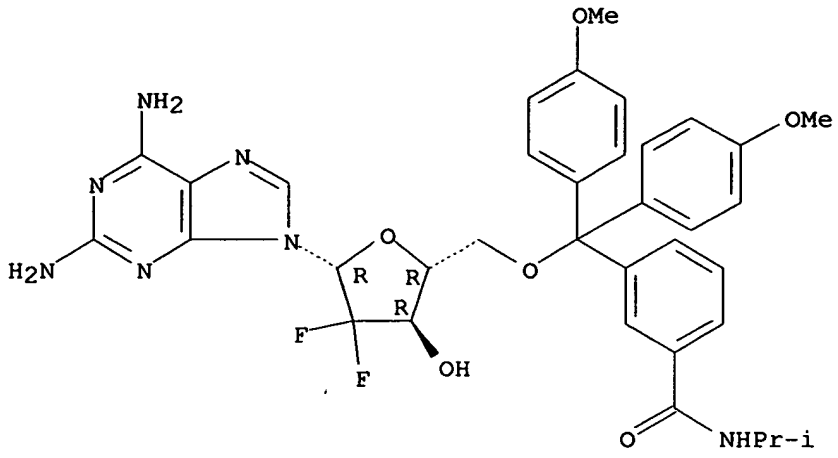
AB Trityl linkers were utilized in the prepn. of acid labile nucleoside monoclonal antibody conjugates, COL1-N6-Triyl-207702. Conjugation led to high levels of drug incorporation into the MoAb with retention of good immunoreactivity. A strong correlation was found between the cytotoxicity of the constructs and substituents on the arom. rings of the trityl linker of difluorodeoxyribonucleosides, e.g. I (R = H, Me, OMe).

IT **164168-50-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antitumor activity of trityl linked difluorodeoxyribonucleoside immunoconjugates)

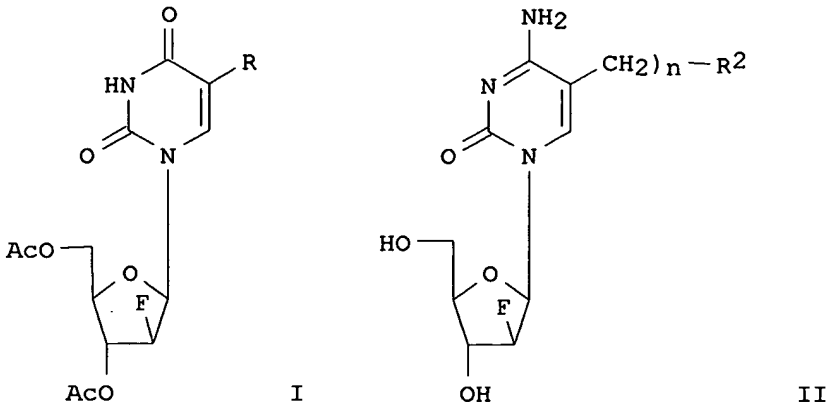
RN 164168-50-7 CAPLUS

CN Adenosine, 2-amino-5'-O-[bis(4-methoxyphenyl)[3-[[(1-methylethyl)amino]carbonyl]phenyl]methyl]-2'-deoxy-2',2'-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 32 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1994:701206 CAPLUS
DOCUMENT NUMBER: 121:301206
TITLE: Derivatives of 1-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-5-phenyluracil and 5-benzyluracil. Synthesis and biological properties
AUTHOR(S): Dziewiszek, Krzysztof; Schinazi, Raymond F.; Chou, Ting-Chao; Su, Tsann-Long; Dzik, Jolanta M.; Rode, Wojciech; Watanabe, Kyoichi A.
CORPORATE SOURCE: Mem. Sloan-Kettering Cancer Cent., Sloan-Kettering Inst. Cancer Res., New York, NY, 10021, USA
SOURCE: Nucleosides Nucleotides (1994), 13(1-3), 77-94
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A no. of 1-(2-deoxy-2-fluoro-.beta.-arabinofuranosyl)uracil and -cytosine nucleosides, e.g. I (R = Ph, Bn) and II (R1 = C6H4NH2-2, C6H4NH2-4, n = 0, 1), were synthesized from 5-phenyl- and 5-benzyluracil via condensation of

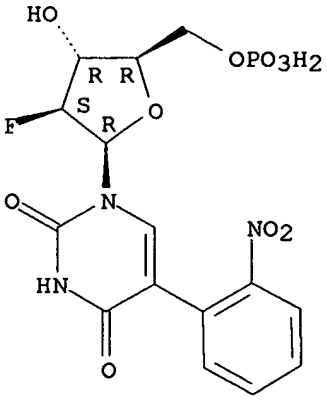
the fluorinated sugar, followed by nitration. The corresponding amino analogs were also prepd. by redn. of the nitro nucleosides. The uracil nucleosides were converted into the corresponding cytosine nucleosides by way of the triazole intermediates. None of these nucleosides exhibited significant activity against herpes simplex virus type 1 in Vero cells. However, cytosine nucleosides contg. the .sigma.-nitrophenyl, p-nitrophenyl, p-nitrobenzyl or p-aminobenzyl substituent were found to be toxic (even at 1 .mu.M) to uninfected Vero cells, although they were essentially nontoxic in HL-60 cells. The 5'-monophosphates of the uracil nucleosides were inhibitors of the reaction catalyzed by purified Ehrlich ascites carcinoma thymidylate synthase, the 5-phenyluracil nucleotides causing a strong inhibition, competitive vs dUMP, described by the Ki value of 0.01 .mu.M.

IT **159042-48-5**
RL: RCT (Reactant)
(thymidylate synthase inhibition by)

RN 159042-48-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-2-fluoro-5-O-phosphono-.beta.-D-arabinofuranosyl)-5-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 33 OF 42 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 1994:570526 CAPLUS

DOCUMENT NUMBER: 121:170526

TITLE: 1-(2'-Deoxy-2'-fluoro-.beta.-D-arabinofuranosyl)-5-iodouracil-containing compositions effective against acyclovir-resistant strains of herpes viruses

INVENTOR(S): Adair, Dennis W.; Johnson, Karl M.; Kern, Earl R.

PATENT ASSIGNEE(S): Oclassen Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414457	A1	19940707	WO 1993-US12587	19931223

W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,

BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 6040298	A	20000321	US 1992-995843	19921223
AU 9459607	A1	19940719	AU 1994-59607	19931223
PRIORITY APPLN. INFO.:			US 1992-995843	19921223
			WO 1993-US12587	19931223

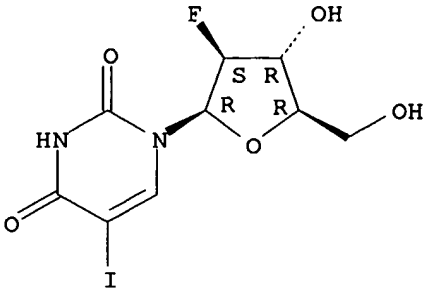
AB Pharmaceutical compns. are disclosed which are useful for the treatment of subjects suffering from an infection or disease caused by herpes virus strains that are resistant to treatment with acyclovir (ACV). In particular, it has been discovered that low dosage amts. of 1-(2'-deoxy-2'-fluoro-.beta.-D-arabinofuranosyl)-5-iodouracil (FIAU) are effective in inhibiting replication of acyclovir-resistant HSV strains. The compns. of the invention may include a prodrug or metabolite of FIAU. Also disclosed are methods for prepn. of pharmaceutical antiviral compns. and methods for their use in the treatment of infection or disease. Ointments contg. 5% and 10% FIAU were more effective than an ointment contg. 5% ACV in reducing both virus types and external lesions. Formulations are also included.

IT **157695-90-4**
RL: BIOL (Biological study)
(for acyclovir-resistant herpes virus infection treatment, injection pharmaceutical of)

RN 157695-90-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-5-iodo-, monosodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

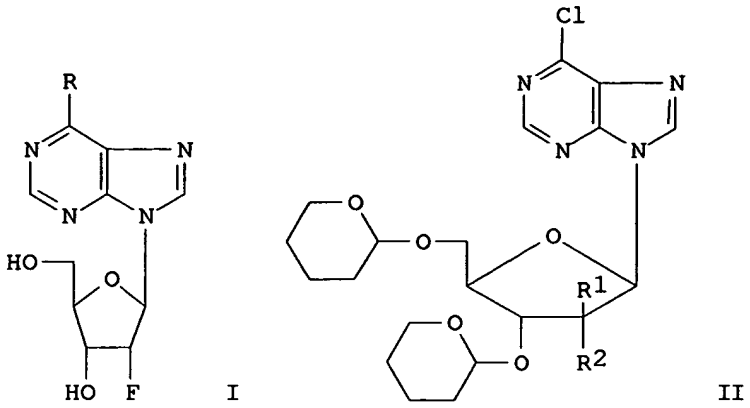


● Na

L4 ANSWER 34 OF 42 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER:	1994:509541 CAPLUS
DOCUMENT NUMBER:	121:109541
TITLE:	Synthesis and anti-HIV activity of 6-substituted purine 2'-deoxy-2'-fluororibosides
AUTHOR(S):	Maruyama, Tokumi; Utzumi, Kunihiko; Sato, Yoshiko; Richman, Douglas D.
CORPORATE SOURCE:	Dep. Pharm. Sci., Tokushima Bunri Univ., Yamashiro, 770, Japan
SOURCE:	Nucleosides Nucleotides (1994), 13(1-3), 527-37 CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE:	Journal
LANGUAGE:	English

GI



I II

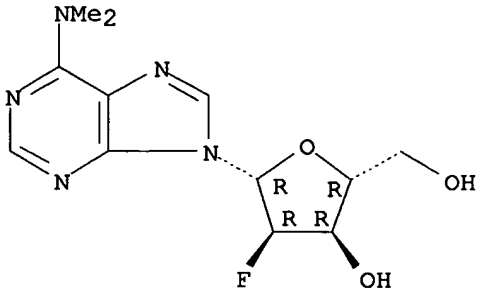
AB Deoxyfluororibonucleosides I (R = NH2, NMe2, SH, SMe) were prepd. via DAST-fluorination of nucleoside II (R1 = OH, R2 = H) to give II (R1 = H, R2 = F). Compds. I (R = NH2, NMe2) displayed indications of activity against HIV-1. In contrast, I (R = SH, SMe) were inactive against HIV-1 indicating that the exocyclic amino af adenine are essential for the antiviral effect of purine 2'-deoxy-2'-fluororibonucleosides.

IT **156420-32-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiviral activity of)

RN 156420-32-5 CAPLUS

CN Adenosine, 2'-deoxy-2'-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 35 OF 42 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 1994:457887 CAPLUS

DOCUMENT NUMBER: 121:57887

TITLE: 2'-deoxy-2',2'-difluoro-(2,6,8-substituted) purine nucleosides having anti-viral and anti-cancer activity and intermediates

INVENTOR(S): Grindley, Gerald Burr; Grossman, Cora Sue; Hertel, Larry Wayne; Kroin, Julian Stanley

PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA

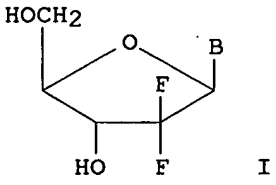
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 576227	A2	19931229	EP 1993-304815	19930621
EP 576227	A3	19940209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9341347	A1	19931223	AU 1993-41347	19930618
CA 2098876	AA	19931223	CA 1993-2098876	19930621
NO 9302287	A	19931223	NO 1993-2287	19930621
BR 9302433	A	19940111	BR 1993-2433	19930621
HU 64553	A2	19940128	HU 1993-1821	19930621
JP 06056877	A2	19940301	JP 1993-149191	19930621
CN 1084178	A	19940323	CN 1993-107740	19930621
PRIORITY APPLN. INFO.:			US 1992-902304	19920622

OTHER SOURCE(S): MARPAT 121:57887
GI



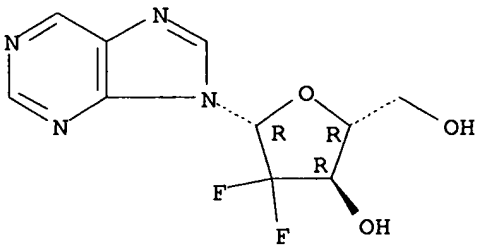
I

AB Title compds. I [B = purine, azapurine, deazapurine base] were prepd. Thus, 2-amino-6-chloropurine was glycosidated, treated with MeNH2, and deblocked to give I [B = 2-amino-6-methylaminopurine] which had an IC50 against human leukemia cells of 0.054 .mu.g/mL and caused 56.9% inhibition of hepatitis B in vitro at 0.1 .mu.g/mL.

IT **156058-32-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antitumor activity of)

RN 156058-32-1 CAPLUS
CN 9H-Purine, 9-(2-deoxy-2,2-difluoro-.beta.-D-erythro-pentofuranosyl)-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 36 OF 42 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 1994:457886 CAPLUS
DOCUMENT NUMBER: 121:57886
TITLE: 2'-deoxy-2',2'-difluoro-(4-substituted pyrimidine) nucleosides having antiviral and anti-cancer activity and intermediates
INVENTOR(S): Hertel, Larry Wayne; Kroin, Julian Stanley
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 576230	A1	19931229	EP 1993-304819	19930621
EP 576230	B1	19960424		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9341348	A1	19931223	AU 1993-41348	19930618
AU 664096	B2	19951102		
CA 2098875	AA	19931223	CA 1993-2098875	19930621
NO 9302289	A	19931223	NO 1993-2289	19930621
BR 9302430	A	19940111	BR 1993-2430	19930621
HU 64769	A2	19940228	HU 1993-1824	19930621
JP 06056876	A2	19940301	JP 1993-149170	19930621
CN 1084177	A	19940323	CN 1993-107739	19930621
AT 137243	E	19960515	AT 1993-304819	19930621
ES 2087657	T3	19960716	ES 1993-304819	19930621
US 5430026	A	19950704	US 1993-146368	19931029
PRIORITY APPLN. INFO.:			US 1992-902314	19920622

OTHER SOURCE(S): MARPAT 121:57886
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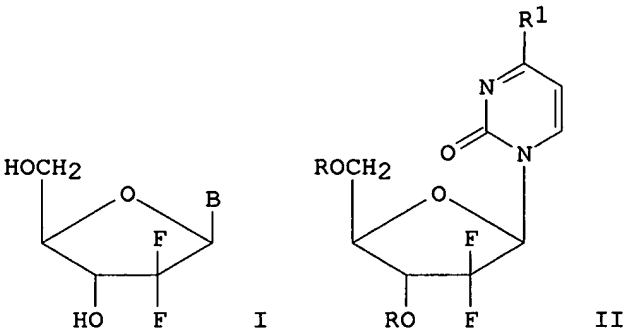
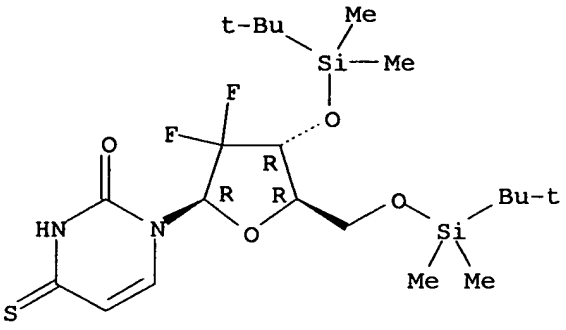


AB Title compds. I [B = pyrimidine, tetrazolopyrimidine, triazolopyrimidine, triazinopyrimidine, imidazopyrimidine] were prepd. Thus, the nucleoside II [R = SiMe2CMe3, R1 = 1,2,4-triazol-1-yl] was treated with NH2OH and deblocked to give II [R = H, R1 = NHOH] which had an IC50 against human leukemia cells of 0.086 .mu.g/mL and an IC50 against HSV-1 of 0.7 .mu.g/mL. Pharmaceutical formulations are also reported.

IT **155968-37-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 155968-37-9 CAPLUS
CN Uridine, 2'-deoxy-3',5'-bis-O-[(1,1-dimethylethyl)dimethylsilyl]-2',2'-difluoro-4-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

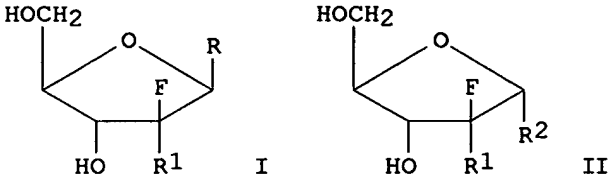


L4 ANSWER 37 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1994:409933 CAPLUS
DOCUMENT NUMBER: 121:9933
TITLE: Stereoselective glycosylation process
INVENTOR(S): Chou, Ta Sen; Poteet, Laurie Michelle; Kjell, Douglas Patton; Grossman, Cora Sue; Hertel, Larry Wayne; Holmes, Richard Elmer; Jones, Charles David; Mabry, Thomas Edward
PATENT ASSIGNEE(S): Lilly, Eli, and Co., USA
SOURCE: Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 577303	A1	19940105	EP 1993-304817	19930621
EP 577303	B1	19971001		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5371210	A	19941206	US 1992-902312	19920622
US 5401838	A	19950328	US 1993-44343	19930407
US 5426183	A	19950620	US 1993-44312	19930407
US 5594124	A	19970114	US 1993-44345	19930407
US 5821357	A	19981013	US 1993-44996	19930407
RU 2131880	C1	19990620	RU 1993-46709	19930621
PRIORITY APPLN. INFO.:			US 1992-902112	19920622
			US 1992-902135	19920622
			US 1992-902150	19920622
			US 1992-902302	19920622
			US 1992-902312	19920622
			US 1992-902313	19920622
			US 1993-44309	19930407
			US 1993-44312	19930407
			US 1993-44315	19930407
			US 1993-44343	19930407
			US 1993-44345	19930407
			US 1993-44996	19930407

OTHER SOURCE(S): CASREACT 121:9933; MARPAT 121:9933

GI



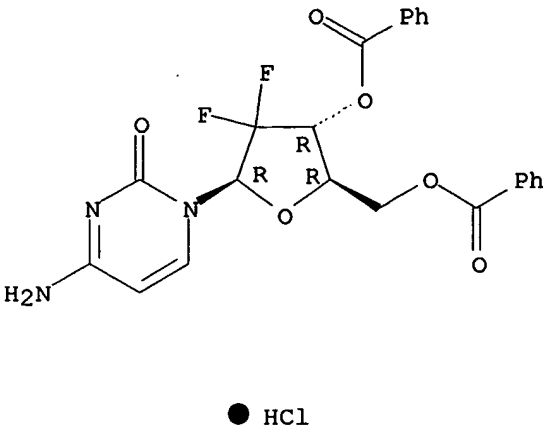
AB Nucleosides I [R = purine, pyrimidine, triazine, triazole, deazapurine; R1 = H, F] were prepd. by treating a sulfonate II [R2 = O3SMe, O3SC6H4Me-4] with a salt of the protected base, and deblocking. Thus, cytosine was bis(trimethylsilylated) and treated with II (R1 = F, R2 = O3SMe) in a ratio of 15:1 in anisole at 105.degree. to give 75% I [R = cytosine, R1 = F].

IT **155568-00-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 155568-00-6 CAPLUS

CN Cytidine, 2'-deoxy-2',2'-difluoro-, 3',5'-dibenzoate, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 38 OF 42 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 1994:299180 CAPLUS

DOCUMENT NUMBER: 120:299180

TITLE: Synthesis and some properties of modified oligonucleotides. 2. Oligonucleotides containing 2'-deoxy-2'-fluoro-.beta.-D-arabinofuranosylpyrimidine nucleotides

AUTHOR(S): Kois, Pavol; Tocik, Zdenek; Spassova, Maria; Ren, Wu Yun; Rosenberg, Ivan; Soler, Jaume Farras; Watanabe, Kyoichi A.

CORPORATE SOURCE: Sloan-Kettering Inst. Cancer Res., Cornell Univ., New York, NY, 10021, USA

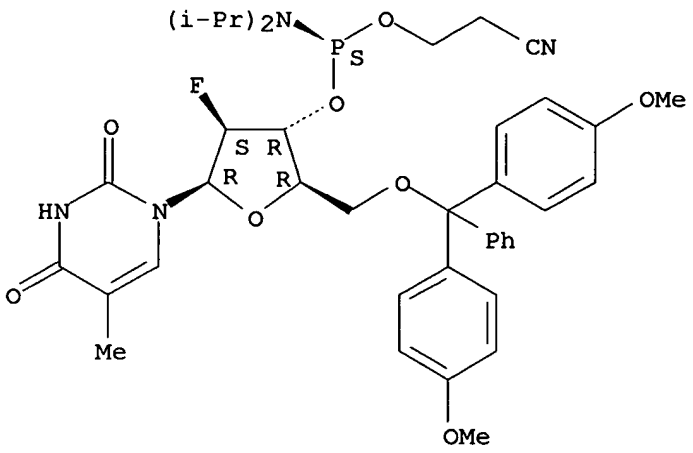
SOURCE: Nucleosides Nucleotides (1993), 12(10), 1093-109
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In order to find the effects of unnatural nucleosides on the stability of duplex, several oligonucleotides contg. 1-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-uracil (FAU), -cytosine (FAC) and -thymine (FMAU) were synthesized by two alternative approaches: phosphoramidite method on an ABI 392 synthesizer and H-phosphonate procedure on the authors' GeneSyn I universal module synthesizer. It was shown from the melting profiles that the presence of FMAU has a large stabilizing effect on the duplex. Replacement of thymidine with FAU, or deoxycytidine with FAC resulted in the formation of less stable duplexes. Temp.-dependent CD spectroscopy demonstrated that the structures of the fluorine contg. oligomers are very similar to those of unmodified oligomers.

IT **154771-35-4P 154771-39-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in prepn. of deoxyfluoroarabinofuranosyl nucleoside-contg. oligonucleotide duplexes)

RN 154771-35-4 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-O-[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]-2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

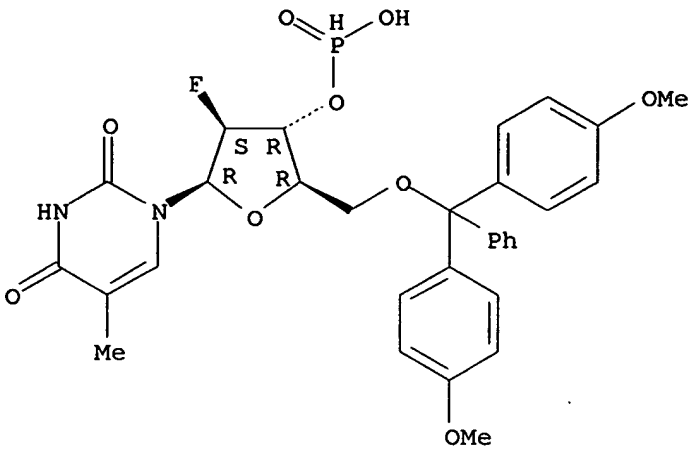


RN 154771-39-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[bis(4-methoxyphenyl)phenylmethyl]-2-deoxy-2-fluoro-3-O-(hydroxyphosphinyl)-.beta.-D-arabinofuranosyl]-5-methyl-, compd. with N,N-diethylethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

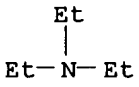
CRN 154771-38-7
CMF C31 H32 F N2 O9 P
CDES 5:B-D-ARABINO

Absolute stereochemistry.

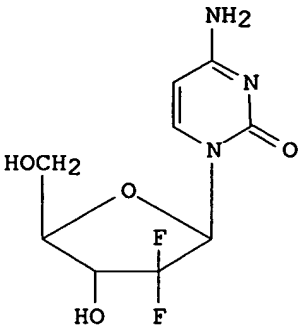


CM 2

CRN 121-44-8
CMF C6 H15 N



L4 ANSWER 39 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1994:279938 CAPLUS
DOCUMENT NUMBER: 120:279938
TITLE: Degradation Chemistry of Gemcitabine Hydrochloride, a New Antitumor Agent
AUTHOR(S): Anliker, Sally L.; McClure, Michael S.; Britton, Thomas C.; Stephan, Erwin A.; Maple, Steven R.; Cooke, Gary G.
CORPORATE SOURCE: Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SOURCE: J. Pharm. Sci. (1994), 83(5), 716-19
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

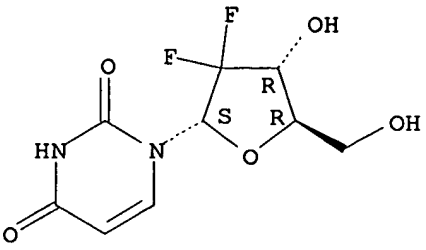
AB The antitumor agent gemcitabine hydrochloride (I-HCl), a .beta.-difluoronucleoside, is remarkably stable in the solid state. In 0.1 N HCl soln. at 40.degree., deamination of gemcitabine occurs, yielding its uridine analog. Approx. 86% of the initial I remains after 4 wk under these conditions. Cleavage of the N-glycosidic bond of I or conversion to its .alpha.-anomer in 0.1 N HCl soln. is not obsd. over a 4-wk period. However, this work has shown that I-HCl anomerizes in 0.1 N NaOH at 40.degree.. Approx. 72% of the initial I remains after 4 wk under the basic conditions used. Uridine hydrolysis products are also formed under these conditions. The anomerization reaction, which is unusual under basic conditions, has been confirmed by characterization of the chromatog. isolated .alpha.-anomer by NMR and mass spectrometry. A mechanism involving an acyclic intermediate is proposed.

IT **153381-14-7**
RL: FORM (Formation, nonpreparative)
(formation of, as gemcitabine degrdn. product)

RN 153381-14-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-2,2-difluoro-.alpha.-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 40 OF 42 CAPLUS COPYRIGHT 2000 ACS

ACCESSION NUMBER: 1993:539705 CAPLUS

DOCUMENT NUMBER: 119:139705

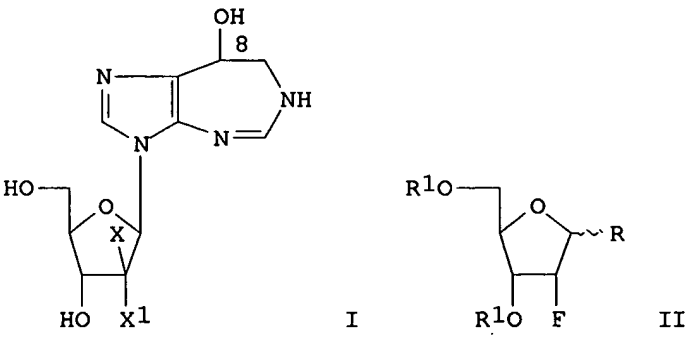
TITLE: Preparation of 2'-deoxy-2'-fluorocoformycin and stereoisomers as adenosine deaminase inhibitors

INVENTOR(S): Takeuchi, Tomio; Umezawa, Sumio; Tsuchiya, Tsutomu; Takahashi, Yoshiaki

PATENT ASSIGNEE(S): Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai, Japan
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

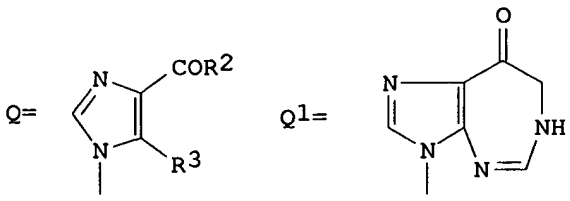
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9310137	A1	19930527	WO 1992-JP1489	19921113
W: AU, CA, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, NL, SE				
AU 9229318	A1	19930615	AU 1992-29318	19921113
AU 661520	B2	19950727		
EP 643069	A1	19950315	EP 1992-923538	19921113
EP 643069	B1	19970305		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
AT 149510	E	19970315	AT 1992-923538	19921113
ES 2099841	T3	19970601	ES 1992-923538	19921113
CA 2122815	C	19980915	CA 1992-2122815	19921113
JP 3030087	B2	20000410	JP 1992-509148	19921113
NO 9401800	A	19940704	NO 1994-1800	19940513
US 5773607	A	19980630	US 1996-620396	19960322
US 5886167	A	19990323	US 1997-990461	19971215
PRIORITY APPLN. INFO.:			JP 1991-352588	19911114
			WO 1992-JP1489	19921113
			US 1994-240777	19940512
			US 1996-620396	19960322

OTHER SOURCE(S): CASREACT 119:139705; MARPAT 119:139705
GI



I

II



AB The title compd. (I; X = H, X1 = F; X = F, X1 = H), useful for treating acute lymphocytic leukemia (no data), are prepd. Thus, azidolysis of 2-deoxy-2-fluoro-D-ribofuranosyl bromide (II; R = Br, R1 = Bz) (prepn.

given) with NaN3 in the presence of Et4NBr in MeCN and catalytic hydrogenation of the resulting azide over Pd black in dioxane gave an amine II (R = NH2, R1 = Bz) which was cyclocondensed with EtO2CCH(N:CHOEt)CN in refluxing CH2Cl2 to give imidazoles II (R = Q, R1 = Bz, R2 = OEt, R3 = NH2). Sapon. of the .beta.-anomer II (R = .beta.-Q, R1 = Bz, R2 = OEt, R3 = NH2) with NaOH in aq. dioxane at 80.degree. followed by acetylation with Ac2O in pyridine gave II (R = .beta.-Q, R1 = Ac, R2 = OH, R3 = NH2) which was reacted with N,N-dimethylchloroformiminium chloride in THF to give II (R = .beta.-Q, R1 = Ac, R2 = C:N+:N-, R3 = NH:CHNMe2). Chlorination of the latter compd. with HCl in EtO-CH2Cl2 and azidolysis of the resulting II (R = .beta.-Q, R1 = Ac, R2 = CH2Cl, R3 = NH:CHNMe2) with NaN3 in DMF gave II (R = .beta.-Q, R1 = Ac, R2 = CH2N3, R3 = NH:CHNMe2) which was hydrogenated over Pd black in MeOH to give II (R = .beta.-Q, R1 = Ac, R2 = CH2NH2, R3 = NH:CHNMe2). Cyclization of the latter compd. in the presence of MeONa in MeOH and redn of the resulting II (R = .beta.-Q1, R1 = H) with NaBH4 in aq. MeOH gave a mixt. of (8R)- and (8S)-I (X = H, X1 = F). Intermediates II (R = NH2, R1 = H) and 2-deoxy-2-fluoro-.alpha.,.beta.-D-arabinofuranosylamine showed min. inhibitory concn. of 50 100 .mu.g/mL against Providencia rettgeri.

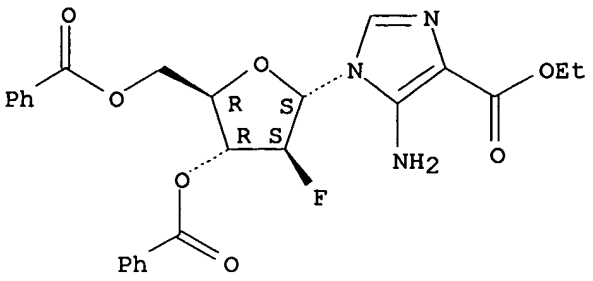
IT **149624-08-8P 149624-16-8P 149624-17-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for deoxyfluorocoformycin and stereoisomer)

RN 149624-08-8 CAPLUS

CN 1H-Imidazole-4-carboxylic acid, 5-amino-1-(3,5-di-O-benzoyl-2-deoxy-2-fluoro-.alpha.-D-arabinofuranosyl)-, ethyl ester (9CI) (CA INDEX NAME)

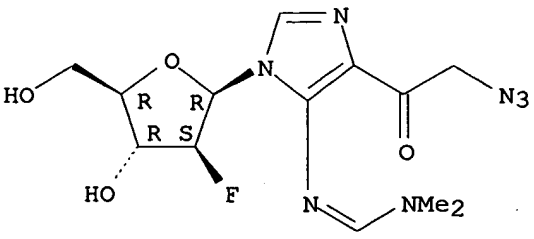
Absolute stereochemistry.



RN 149624-16-8 CAPLUS

CN Methanimidamide, N'-[4-(azidoacetyl)-1-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-1H-imidazol-5-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

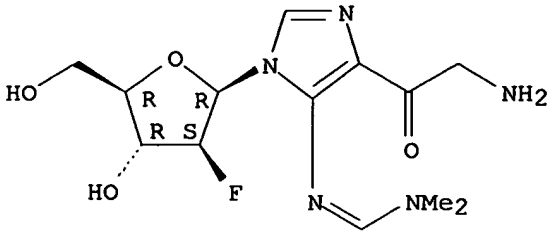
Absolute stereochemistry.
Double bond geometry unknown.



RN 149624-17-9 CAPLUS

CN Methanimidamide, N'-[4-(aminoacetyl)-1-(2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl)-1H-imidazol-5-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

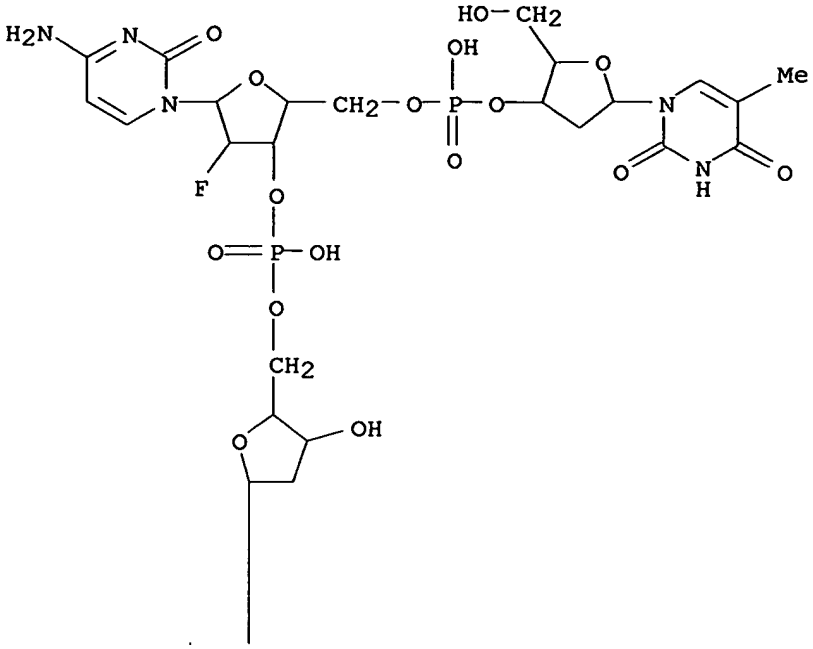


L4 ANSWER 41 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1993:517734 CAPLUS
DOCUMENT NUMBER: 119:117734
TITLE: Uniformly modified 2'-deoxy-2'-fluoro-phosphorothioate oligonucleotides as nuclease-resistant antisense compounds with high affinity and specificity for RNA targets
AUTHOR(S): Kawasaki, Andrew M.; Casper, Martin D.; Freier, Susan M.; Lesnik, Elena A.; Zounes, Maryann C.; Cummins, Lendell L.; Gonzalez, Carolyn; Cook, P. Dan
CORPORATE SOURCE: ISIS Pharm., Carlsbad, CA, 92008, USA
SOURCE: J. Med. Chem. (1993), 36(7), 831-41
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

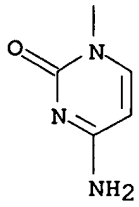
AB "Uniformly" modified phosphodiester or phosphorothioate oligonucleotides incorporating 2'- deoxy-2'-fluoroadenosine, -guanosine, -uridine, and -cytidine, reported herein for the first time, when hybridized with RNA afforded consistent additive enhancement of duplex stability without compromising base-pair specificity. CD spectra of the 2'-deoxy-2'-fluoro-modified oligonucleotides hybridized with RNA indicated that the duplex adopts a fully A-form conformation. The 2'-deoxy-2'-fluoro-modified oligonucleotides in phosphodiester form were not resistant to nucleases; however, the modified phosphorothioate oligonucleotides were highly nuclease resistant and retained exceptional binding affinity to the RNA targets. The stabilizing effects of the 2'-deoxy-2'-fluoro modifications on RNA-DNA duplexes were shown to be superior to those of the 2'-O-methylribo substitutions. "Uniformly" modified 2'-deoxy-2'-fluoro phosphorothioate oligonucleotides afforded antisense mols. with high binding affinity for the RNA target and stability toward nucleases.

IT **146954-73-6P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and NMR spectra of, proton)
RN 146954-73-6 CAPLUS
CN Cytidine, thymidylyl-(3'.fwdarw.5')-2'-deoxy-2'-fluorocytidylyl-(3'.fwdarw.5')-2'-deoxy- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L4 ANSWER 42 OF 42 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1993:240942 CAPLUS
DOCUMENT NUMBER: 118:240942
TITLE: Antiviral liponucleotides for the treatment of hepatitis B
INVENTOR(S): Hostetler, Karl Y.
PATENT ASSIGNEE(S): Vical, Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9300910	A1	19930121	WO 1992-US4856	19920603

W: AU, CA, JP
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE

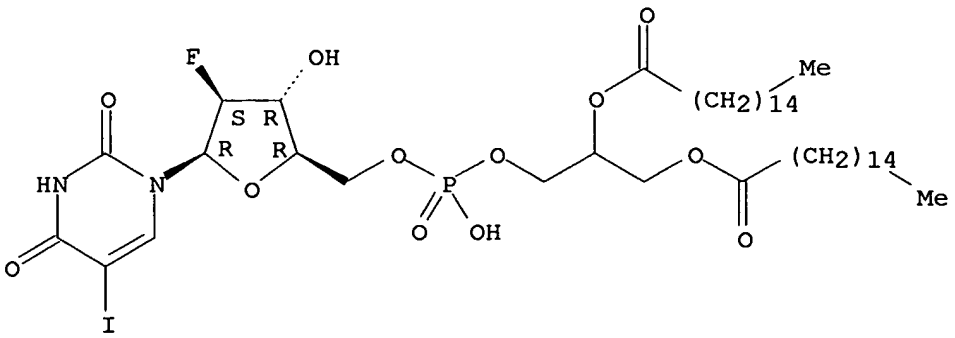
CA 2112803	AA	19930121	CA 1992-2112803	19920603	
AU 9222268	A1	19930211	AU 1992-22268	19920603	
AU 668873	B2	19960523			
EP 594677	A1	19940504	EP 1992-914562	19920603	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE					
JP 07500573	T2	19950119	JP 1992-502213	19920603	
CA 2149753	AA	19940623	CA 1992-2149753	19921217	
AU 9333287	A1	19940704	AU 1993-33287	19921217	
AU 680812	B2	19970814			
EP 674646	A1	19951004	EP 1993-901148	19921217	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 08504439	T2	19960514	JP 1992-514102	19921217	
US 5817638	A	19981006	US 1995-456537	19950601	
PRIORITY APPLN. INFO.:			US 1991-730273	19910712	
			US 1988-216412	19880707	
			US 1989-319485	19890306	
			US 1989-373088	19890628	
			WO 1992-US4856	19920603	
			WO 1992-US10991	19921217	
			US 1994-222571	19940404	

AB Antiviral liponucleotides comprise an antihepatic B nucleoside analog linked, through a phosphate of the pentose residue, to a lipid moiety. They are incorporated into the lamellar structure of liposomes for targeting to liver in the treatment of hepatitis B. Liponucleotides were prepd. by coupling a phosphatidic acid to a nucleoside analog at ether 3'- or 5'-OH by using a coupling agent, or to a nucleoside monophosphate through a pyrophosphate bond.

IT **147556-65-8P 147556-75-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and liposome incorporation of, for treatment of hepatitis B, in humans)

RN 147556-65-8 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[5-O-[[2,3-bis[(1-oxohexadecyl)oxy]propoxy]hydroxyphosphinyl]-2-deoxy-2-fluoro-.beta.-D-arabinofuranosyl]-5-iodo- (9CI) (CA INDEX NAME)

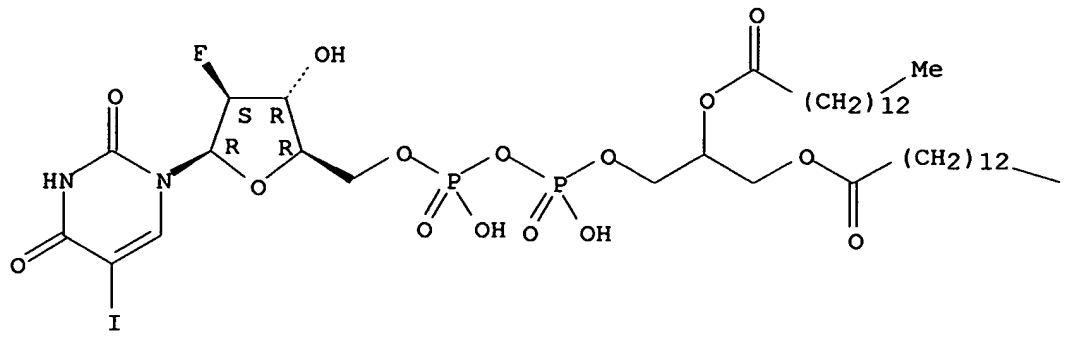
Absolute stereochemistry.



RN 147556-75-0 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-deoxy-5-O-[1,3-dihydroxy-1,3-dioxido-9-oxo-6-[(1-oxotetradecyl)oxy]-2,4,8-trioxa-1,3-diphosphadocos-1-yl]-2-fluoro-.beta.-D-arabinofuranosyl]-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

=> help save

The SAVE command is used to save an L-number query (search profile, structure, or screen set), answer set, or L-number list for use in a future session. To use this command, enter SAVE, the L-number of the item to be saved as a query or an answer set, a range of L-numbers or ALL for all L-number queries in the session, and the name to be assigned to the item. A copy of the items specified will be saved under the name that you assigned. To SAVE an answer set, you must be in the same file in which the answer set was created.

The name that you choose must:

1. Begin with a letter
2. Have 1-12 characters
3. Contain only letters (A-Z) and numbers (0-9)
4. End with /Q (for a query, structure, or screen set), /A (for an answer set), /L (for an L-number list)
5. Not already be in use as a saved name
6. Not be: END, SAV, SAVE, SAVED, or an L-number

If the query you are saving contains several structures or screen sets combined with logical operators, all these components will automatically be saved. Structures and screen sets do not need to be saved separately in order to save the query. They will also be available for use in searching when you ACTIVATE the query.

If the answer set you are saving is the result of a subset search, only the answers from the subset search will be saved. The answer sets from the searches that created the subset must be saved separately if you want them to be saved.

To save an item temporarily, enter TEMP on the command line, i.e., SAVE TEMP. Items saved with the TEMP option are deleted after seven days. There is no charge for this type of SAVE.

If you wish to enter a short description of the saved item, enter TITLE on the command line, i.e., SAVE TITLE. You will be prompted to enter a title, which will then appear each time you DISPLAY or ACTIVATE the saved item.

Example:
=> SAVE L34 LUMICHROME/A TITLE
ENTER TITLE OR (NONE): CHROMATIC STUDY FOR DR. A. JONES.
ANSWER SET 'L34' HAS BEEN SAVED AS 'LUMICHROME/A'

If you wish to save a specific range or all of the L-number queries from your current session, enter SAVE. the L-numbers or ALL, and the saved name with /L appended. All L-number queries will be saved as queries. The L-number answer sets will be saved as queries with RANGE information (if any) and number of postings from the search. The file name in which the L-numbers were created is also saved. The answers in the answer sets are not saved. These must be saved separately as answer sets if desired. The TEMP and TITLE option are also valid.

To view a list of all saved query, answer set, and L-number list names. enter DISPLAY SAVED at an arrow prompt (=>. To view a list of all saved query names, enter DISPLAY SAVED/Q. To view a list of all saved answer set names, enter DISPLAY SAVED/A. To view a list of all saved L-number lists, enter DISPLAY SAVED/L. To display information about an individual saved item, enter DISPLAY and the name of the item with the appropriate suffix, i.e., /Q, /A, or /L. Enter HELP DISPLAY SAVED for more information.

To activate a saved item for use in the current session, use the ACTIVATE command. Enter HELP ACTIVATE for more information.

To remove all or some of your saved items, use the DELETE command. Enter HELP DELETE for more information.

=> save l4 reissue/l

L# LIST L4 HAS BEEN SAVED AS 'REISSUE/L'

=> save l4 reissue/a

'REISSUE/A' CANNOT BE USED BECAUSE 'REISSUE/L' IS ALREADY SAVED
A saved item (query, answer set, L# list,
BATCH search request, or SDI profile) cannot be
replaced by an item of a different type by
entering the same name with a different qualifier
(/Q, /A, or /L). If you wish to reuse this name,
use the DELETE command to remove the old
definition. Then reissue the SAVE command.

=> save ri396/a

ENTER L#, L# RANGE, ALL, OR (END):l4

ANSWER SET NOT SAVED.
THE ANSWER SET WAS CREATED IN FILE 'CAPLUS'.

USE THE FILE COMMAND TO CHANGE TO THE CORRECT FILE.
Answer sets must be saved in the same file in which they were created.

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.40	182.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-23.38

FILE 'CAPLUS' ENTERED AT 15:46:49 ON 30 AUG 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1967 - 30 Aug 2000 VOL 133 ISS 9
FILE LAST UPDATED: 29 Aug 2000 (20000829/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGlstRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in CAPLUS on STN.

=> d his

(FILE 'HOME' ENTERED AT 15:17:37 ON 30 AUG 2000)

FILE 'REGISTRY' ENTERED AT 15:17:43 ON 30 AUG 2000
L1 STRUCTURE UPLOADED
L2 50 S L1 SSS SAM

FILE 'CAPLUS' ENTERED AT 15:23:02 ON 30 AUG 2000
S L1

FILE 'REGISTRY' ENTERED AT 15:23:09 ON 30 AUG 2000
L3 50 S L1

FILE 'CAPLUS' ENTERED AT 15:23:11 ON 30 AUG 2000
L4 42 S L3

FILE 'CAOLD' ENTERED AT 15:23:26 ON 30 AUG 2000
S L1

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     FILE 'REGISTRY' ENTERED AT 15:23:31 ON 30 AUG 2000
L5            50 S L1

     FILE 'CAOLD' ENTERED AT 15:23:34 ON 30 AUG 2000
L6             0 S L5

     FILE 'CAPLUS' ENTERED AT 15:24:37 ON 30 AUG 2000

     FILE 'CAOLD' ENTERED AT 15:25:03 ON 30 AUG 2000

     FILE 'CAPLUS' ENTERED AT 15:32:03 ON 30 AUG 2000

     FILE 'CAOLD' ENTERED AT 15:32:46 ON 30 AUG 2000

     FILE 'CAPLUS' ENTERED AT 15:41:46 ON 30 AUG 2000

     FILE 'CAOLD' ENTERED AT 15:42:02 ON 30 AUG 2000
               SAVE L4 REISSUE/L

     FILE 'CAPLUS' ENTERED AT 15:46:49 ON 30 AUG 2000

=> save l4 reissue396/A title

ENTER TITLE (NONE):Hits for 09408396

ANSWER SET L4 HAS BEEN SAVED AS 'REISSUE396/A'

=> end

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.63     183.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00     -23.38

STN INTERNATIONAL LOGOFF AT 15:48:13 ON 30 AUG 2000
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FILE COVERS 1967 - 17 Nov 2000 VOL 133 ISS 22
FILE LAST UPDATED: 16 Nov 2000 (20001116/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in HCAPLUS on STN.

```
=> s fluoro(2w)arabinonucleoside

         56364 FLUORO
            96 ARABINONUCLEOSIDE
L1           2 FLUORO(2W)ARABINONUCLEOSIDE

=> s arabinonucleoside

L2          96 ARABINONUCLEOSIDE

=> s deoxy(2w)l2

         32095 DEOXY
L3           2 DEOXY(2W)L2

=> d 1-2 l3
```

L3 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2000 ACS
AN 1990:612550 HCAPLUS
DN 113:212550
TI Synthesis and cytotoxicity studies of 8-amino-6-methyl-2-.beta.-D-ribofuranosyl-1,2,3,5,6,7-hexaazaacenaphthylene (7-Aza-TCN) and the corresponding 2'-**deoxy**- and **arabinonucleoside** analogues
AU Kawasaki, Andrew M.; Wotring, Linda L.; Townsend, Leroy B.
CS Coll. Pharm., Univ. Michigan, Ann Arbor, MI, 48109-1065, USA
SO J. Med. Chem. (1990), 33(12), 3170-6
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English

L3 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2000 ACS
AN 1990:36382 HCAPLUS
DN 112:36382
TI Preparation of 2',3'-dideoxy-2'-fluoro nucleosides as antivirals and pharmaceutical compositions containing them
IN Sterzycki, Roman Z.; Mansuri, Muzammil M.; Martin, John C.
PA Bristol-Myers Co., USA
SO Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW

L1 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2000 ACS
TI Preparation of 2',3'-dideoxy-2'-fluoro nucleosides as antivirals and pharmaceutical compositions containing them
AB . . . cyano, cyanamido, halo, NH2, etc.], useful as antiviral agents, are prepd. via either (1) selectively protecting the 4'-OH group of 2'-deoxy-2'-**fluoro arabinonucleoside**, reductively deoxygenating the 3'-OH group of the product, and deprotecting the resulting 3'-deoxy product, or (2) converting the 3'-OH group. . .
AB The title compds. [I; B = purine, azapurine, deazapurine, pyrimidine, azapyrimidine, dezapyrimidine, or triazole residue; R = H, N3, cyano, cyanamido, halo, NH2, etc.], useful as antiviral agents, are prepd. via either (1) selectively protecting the 4'-OH group of 2'-deoxy-2'-**fluoro arabinonucleoside**, reductively deoxygenating the 3'-OH group of the product, and deprotecting the resulting 3'-deoxy product, or (2) converting the 3'-OH group of the 4'-O-protected 2'-deoxy-2'-fluoroarabinonucleoside to a 3'-O-leaving group-substituted nucleoside, eliminating the groups on the 2' and 3' positions to give a 2',3'-unsatd. derivs., deprotecting the 4' position to give II, and reducing the product. 2'-Deoxy-2'-fluoroarabino-5'-monomethoxytrityluridine, obtained by monomethoxytritylation of 2'-deoxy-2'-fluoroarabinouridine, was treated with 1,1'-thiocarbonyldiimidazole in DMF at 80-90.degree. for 2.7 h to give 2',3'-dideoxy-2'-fluoroarabino-5'-monomethoxytrityluridine, which was deprotected with 80% HOAc to give 2,3'-dideoxy-2'-fluoroarabinouridine. In an in vitro study, 2',3'-dideoxy-2'-fluoroarabinocytidine (prepn. given) showed 50% inhibition of human immunodeficiency virus (HIV) at 4 .mu.M.

L1 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2000 ACS
TI Nucleoside analogs with clinical potential in antivirus chemotherapy. The effect of several thymidine and 2'-deoxycytidine analog 5'-triphosphates on purified human (.alpha., .beta.) and herpes simplex virus (types 1, 2) DNA polymerases
AB . . . The relative ability to support DNA synthesis was generally E-5-propenyl-dUTP [79551-91-0] .simeq. dTTP > E-5-(2-bromovinyl-dUTP) [77222-61-8] > 5-propyl-dUTP [64374-76-1] .mchgt. 2'-**fluoro-arabinonucleoside** triphosphates .mchgt. E-5-(2-bromovinyl)-araUTP [79551-90-9]. Incubation of analog triphosphates and polymerase with activated DNA suggests that, with E-5-(2-bromovinyl)-araUTP as the exception,. . .
AB To aid in establishing the mechanisms of antiherpes virus action and the basis for selectivities of 7 nucleoside analogs, I (R = Me, Pr, CH:CHMe, or CH:CHBr, R1 = H or OH) and II (R = Me or I) were prepd. for testing with DNA polymerase [9012-90-2]; a general method for the direct chem. synthesis of nucleoside triphosphate from nucleoside is described. The effects of the analog triphosphates were evaluated on the following 4 isolated DNA polymerases: virus-induced DNA polymerases from herpes simplex virus Type 1 (HSV-1) and Type 2 (HSV-2) infections, and human DNA polymerases .alpha. and .beta., using conditions optimal for each. Competitive inhibition results indicate that all 7 analog triphosphates are good inhibitors of normal substrate utilization by DNA polymerase regardless of enzyme source, have much higher apparent affinities (20- to 600-fold lower Ki) for HSV polymerases than for human polymerases, and are equally inhibitory to both HSV-1 and HSV-2 DNA polymerases. The analogs varied considerably in support of DNA synthesis in the absence of normally competing substrate, again with little difference between polymerases.